

II. REMARKS

Before the claim amendments made herein, claims 16 to 41 were pending. Claims 16 and 37 have been canceled herein without prejudice, and claims 42 to 48 have been added. Accordingly, after the amendments made herein are entered, claims 17 to 36 and 38 to 48 will be pending.

A. Regarding the amendments.

Claim 36 has been amended by deleting alkyl at the R₃ position, which is the same scope as claim 37. Hence, claim 37 has been cancelled herein without prejudice. The amendment is supported in the specification, for example, at page 6, line 1 to page 7, line 7, which discloses the recited R³ moieties of the amended claim; and by Examples 1 to 6, at pages 74 to 84, which disclose thousands of specific compounds within the scope of the amended claim.

Claims 17 to 25 and 35 have been amended to correct dependency from claim 16, now cancelled without prejudice, to claim 36 or claim 39. The amendments are supported in the specification, for example, at page 6, line 1 to page 7, line 7, which discloses the recited R³ moieties of claim 36; at page 7, lines 8-18, which discloses the R⁵ moieties recited in claim 39; by claims 17 to 25 as originally filed; and by Examples 1 to 6, at pages 74 to 84, which disclose thousands of specific compounds within the scope of the amended claims.

New claim 42 deletes hydrogen, halo and alkyl from the R³ position. The new claim also makes clear that zero, one or any two of D, W and E of the formula -D-W-E- at the R⁶ position can be absent. The new claim is supported in the specification, for example, at page 6, line 1 to page 7, line 7, which discloses the recited R³ moieties of claim; at page 10, line 22 to page 11, line 15, which makes clear that zero, one or any two of D, W and E can be absent; and by Examples 1 to 6, at pages 74 to 84, which disclose thousands of specific compounds within the scope of the amended claims and also discloses various combinations of -D-W-E- where zero, one or two of these moieties can be absent.

New claim 43 is dependent on claim 42 and recites certain provisos that are disclosed at page 118, lines 19 to 26 of the specification.

New claim 44 deletes hydrogen, alkyl, substituted alkyl, cyano and acyl at the R⁵ position. The new claim also makes clear that zero, one or any two of D, W and E of the formula -D-W-E- at the R⁶ position can be absent. The new claim is supported in the specification, for example, at page 7, lines 8-18, which discloses the R⁵ moieties recited in the new claim; at page 10, line 22 to page 11, line 15, which makes clear that zero, one or any two of D, W and E can be absent; and by Examples 1 to 6, at pages 74 to 84, which disclose thousands of specific compounds within the scope of the amended claims and also discloses various combinations of -D-W-E- where zero, one or two of these moieties can be absent.

New claim 45 is dependent on claim 44 and recites certain provisos that are disclosed at page 118, lines 19 to 26 of the specification.

New claims 46 and 47 are dependent on claim 44. These new claims recite the same moieties as original claims 28 and 29.

Finally, claim 31 has been amended to depend on claim 36, and new claim 48 is identical to claim 31, except that it is dependent on claim 39. The amended and new claims are supported in the specification, for example, by claim 31 as originally filed.

Because the amendments made herein are fully supported by the specification, no issue of new matter arises.

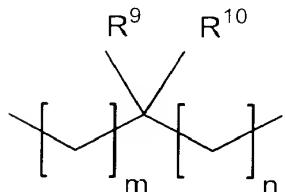
B. Regarding the method claims.

The Office Action indicates that rejoinder of the method claims is appropriate when their scope is identical with the composition claims. Accordingly, claim 31 has been amended to reference composition claim 36, and new method claim 48 references composition claim 39. Applicants respectfully request their rejoinder and allowance once claims 36 and 39 are found to be allowable.

C. Regarding the indefiniteness rejection.

Claim 26 is rejected as allegedly indefinite for reciting the term "methylmethylen." The Action argues that this term creates confusion and asks whether this term is identical to the term "ethylene," which is also recited at the R⁶ position. Applicants respectfully traverse the rejection.

The term "methylmethylen" is meant to describe the following moiety: -CH(CH₃)-. Another way of describing this group would be where R⁶ is the formula:



where m and n are each zero; and one of R⁹ and R¹⁰ is methyl and the other is hydrogen. Obviously, this moiety is different from ethylene. Accordingly, withdrawal of this rejection is respectfully requested.

D. Regarding the prior art rejections.

1. Kenney et al.

Claims 16, 17, 19, 20, 25 and 35 are rejected as allegedly anticipated by Kenney et al. (U.S. Pat. No. 5,300,420). The Action alleges that Kenney anticipates the claims where R¹ to R⁵ are each hydrogen; R⁶ is -CH₂CH₂-

(ethylene); and R⁷ and R⁸ are hydrogen, alkyl or substituted alkyl. Applicants respectfully traverse the rejection.

Claim 16 has been cancelled herein without prejudice, and claims 17, 19, 20, 25 and 35 have each been amended to depend upon either claim 36 or claim 39.

Applicants note that claim 36, as amended herein, excludes hydrogen, halo and alkyl at the R³ position. In contrast, the cited compounds of Kenney each require hydrogen at the R³ position. Accordingly, Kenney does not anticipate or even obviate claim 36 or any claim dependent on claim 36.

Moreover, claim 39 excludes hydrogen, alkyl, substituted alkyl, cyano and acyl at the R⁵ position. In contrast, the cited compounds of Kenney each require hydrogen at the R⁵ position. Accordingly, Kenney does not anticipate or even obviate claim 39 or any claim dependent on claim 39.

Finally, Applicants note that new claim 42 excludes hydrogen, halo and alkyl at the R³ position. In contrast, the cited compounds of Kenney each require hydrogen at the R³ position. Accordingly, Kenney does not anticipate or even obviate claim 42 or any claim dependent on claim 42.

Moreover, new claim 44 excludes hydrogen, alkyl, substituted alkyl, cyano and acyl at the R⁵ position. In

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contrast, the cited compounds of Kenney each require hydrogen at the R⁵ position. Accordingly, Kenney does not anticipate or even obviate claim 44 or any claim dependent on claim 44.

In light of the above-described amendments, Applicants respectfully request that rejection of the claims as allegedly anticipated by Kenney be withdrawn.

2. Miyachi et al.

Claims 16, 17, 19 to 22, 25 and 35 are rejected as allegedly anticipated by Miyachi et al. (U.S. Pat. No. 5,932,607). The Action alleges that Miyachi discloses a compound that anticipates the claims where R¹ to R⁵ are each hydrogen; R⁶ is a substituted C₃ alkylene; and R⁷ and R⁸ are each hydrogen. Applicants respectfully traverse the rejection.

Claim 16 has been cancelled herein without prejudice, and claims 17, 19 to 22, 25 and 35 have each been amended to depend upon claim 36 or claim 39.

Applicants note that claim 36, as amended herein, excludes hydrogen, halo and alkyl at the R³ position. In contrast, the cited compound of Miyachi requires hydrogen at the R³ position. Accordingly, Miyachi does not anticipate or even obviate claim 36 or any claim dependent on claim 36.

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Moreover, claim 39 excludes hydrogen, alkyl, substituted alkyl, cyano and acyl at the R⁵ position. In contrast, the cited compound of Miyachi requires hydrogen at the R⁵ position. Accordingly, Miyachi does not anticipate or even obviate claim 39 or any claim dependent on claim 39.

Finally, Applicants note that new claim 42 excludes hydrogen, halo and alkyl at the R³ position. In contrast, the cited compound of Miyachi requires hydrogen at the R³ position. Accordingly, Miyachi does not anticipate or even obviate claim 42 or any claim dependent on claim 42.

Moreover, new claim 44 excludes hydrogen, alkyl, substituted alkyl, cyano and acyl at the R⁵ position. In contrast, the cited compound of Miyachi requires hydrogen at the R⁵ position. Accordingly, Miyachi does not anticipate or even obviate claim 44 or any claim dependent on claim 44.

In light of the above-described amendments, Applicants respectfully request that rejection of the claims as allegedly anticipated by Miyachi be withdrawn.

3. Girten et al.

Claims 16 to 22, 25 and 35 to 40 are rejected as allegedly anticipated under 35 U.S.C. sec. 102(e) by Girten

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et al. (U.S. Pat. No. 6,284,735). Applicants respectfully traverse the rejection.

35 U.S.C. sec. 102(e) requires that the filing date of the cited patent precede the date of the subject invention. However, because the filing date of Girten is later than the date of the subject invention, Girten is not a proper 102(e) reference. Accordingly, Applicants respectfully request that this rejection be withdrawn.

In support of this contention, Applicants submit the attached declaration from Yazhong Pei, one of the inventors named in the subject application. The Declaration asserts that the subject invention was conceived and reduced to practice several months prior to the filing date of the Girten patent. See paragraph 6 of the Declaration.

In further support of this contention, attached to the Declaration is a copy of a memorandum from Yazhong Pei and Hengyuan Lang, the other named inventor of the subject application. The memorandum is dated December 21, 1998. The memorandum states that "TRG 4500," which is the designation of the combinatorial library exemplified in the subject application, and whose reaction scheme and resulting compounds are the basis of the subject invention, was already completed by that date. See paragraph 7 of the Declaration.

Also attached to this memorandum, and enclosed herewith, is a list of the building blocks used to make the subject combinatorial library. As the Declaration documents, the combinatorial library referred to in the attached memorandum is precisely the same as the one described in the examples of the subject application. Specifically, all of the building blocks listed in the attached memorandum and used to make the subject combinatorial library are precisely the same ones at the same positions as those described in the examples of the subject application. See paragraphs 8 to 10 of the Declaration.

Finally, Applicants note that the earliest filing date of the Girten patent that discloses any benzimidazole derivatives is July 16, 1999. Any earlier filed patent application to which the Girten patent claims priority discloses no such subject matter. See paragraphs 2 to 4 of the Declaration.

Because the date of the subject invention is prior to the 102(e) date of the Girten patent, this cited reference fails to anticipate the subject claims. Accordingly, Applicants respectfully request that this rejection be withdrawn.

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III. CONCLUSION

In light of the Amendments and Remarks made herein, Applicants respectfully submit that the claims are now in condition for allowance and request a notice to this effect. Should the Examiner have any questions, she is invited to call the undersigned attorney.

Respectfully submitted,

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17. (Amended) The single compound of claim 39 ~~46~~, wherein:

R^1 , R^2 , R^3 and R^4 are, independently, selected from the group consisting of a hydrogen atom, halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$ and (ii) the formula $-C(O)R^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

18. (Amended) The single compound of claim 39 ~~46~~, wherein:

R^1 , R^2 , and R^4 are each a hydrogen atom and R^3 is selected from the group consisting of halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$ and (ii) the formula $-C(O)R^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

19. (Amended) The single compound of claim 36 ~~16~~, wherein:

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl and C₃ to C₇ substituted cycloalkyl.

20. (Amended) The single compound of claim 39 ~~16~~, wherein:

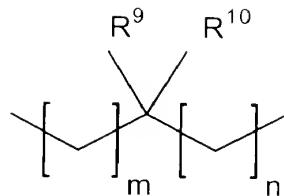
R⁶ is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene and C₃ to C₇ substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C₁ to C₁₂ alkylene, C₁ to C₁₂ substituted alkylene, -NH- and the formula:



wherein:

R^9 and R^{10} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, phenyl, substituted phenyl; and m and n are, independently, 0, 1 or 2.

21. (Amended) The single compound of claim 39 ~~46~~, wherein:

R^7 and R^8 are each a hydrogen atom.

22. (Amended) The single compound of claim 36 ~~46~~, wherein:

R^1 , R^2 — R^3 and R^4 are, independently, selected from the group consisting of a hydrogen atom, halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$ and (ii) the formula $-C(O)R^{11}$,

wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R³ is selected from the group consisting of a C₁ to C₁₂ substituted alkyl, carboxy, and the group consisting of (i) the formula -C(O)NR¹¹R¹² and (ii) the formula -C(O)R¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl and C₃ to C₇ substituted cycloalkyl;

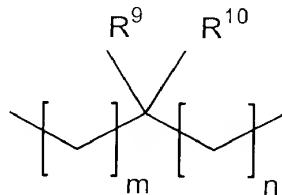
R⁶ is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇, cycloalkylene and C₃ to C₇ substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C₁ to C₁₂ alkylene, C₁ to C₁₂ substituted alkylene, -NH- and the formula:



wherein:

R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈

substituted phenylalkyl, phenyl, substituted phenyl; and m and n are independently 0, 1 or 2; and

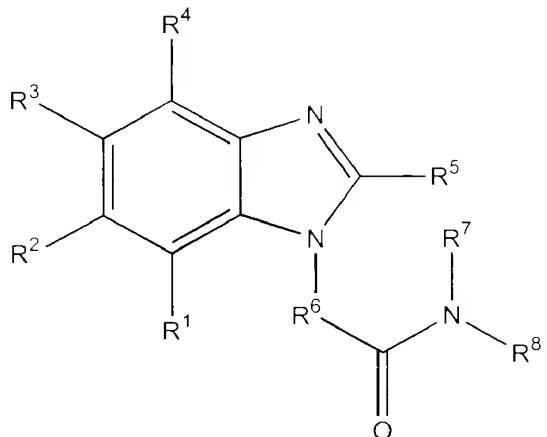
R⁷ and R⁸ are each a hydrogen atom.

23. (Amended) The single compound of claim 36 46, wherein R⁶ is methylene, R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)NR¹¹R¹².

24. (Amended) The single compound of claim 36 46, wherein R⁶ is methylene, R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)R¹¹, wherein R¹¹ is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

25. (Amended) The single compound of claim 36 46, wherein R⁶ is not methylene.

36. (Amended) A single compound of the formula:



wherein:

R¹, R² and R⁴ are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide,

C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR¹¹R¹², (ii) the formula -C(O)R¹¹, (iii) the formula -NR¹¹R¹², (iv) the formula -SR¹¹, (v) the formula -OR¹¹ and (vi) the formula -C(O)OR¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R³ is selected from the group consisting of hydroxy, protected hydroxy, cyano, C₁-to-C₁₂-alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic

ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR¹¹R¹², (ii) the formula -C(O)R¹¹, (iii) the formula -NR¹¹R¹², (iv) the formula -SR¹¹, (v) the formula -OR¹¹ and (vi) the formula -C(O)OR¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted

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alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R⁶ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy, protected carboxy, cyano, protected (monosubstituted) amino, (disubstituted) amino, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₁ to C₁₂ alkoxy carbonyl, C₁ to C₁₂ substituted alkoxy carbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

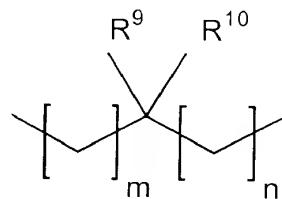
R⁶ is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene;

and D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are independently selected from the group consisting of C₁ to C₁₂ alkylene, C₂ to C₁₂ alkenylene, C₂ to C₁₂ alkynylene, C₁ to C₁₂ substituted alkylene, C₂ to C₁₂ substituted alkenylene, C₂ to C₁₂ substituted alkynylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, C₇ to C₁₈ phenylalkylene, C₇ to C₁₈ substituted phenylalkylene, C₁ to C₁₂ heterocycloalkylene and C₁ to C₁₂ substituted heterocycloalkylene, -NH- and the formula:



wherein R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to

C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, C₇ to C₁₈ phenylalkoxy, C₇ to C₁₈ substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R⁷ and R⁸ are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl and C₁ to C₁₂ substituted heterocycloalkyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C₁ to

C_{12} alkylaminothiocarbonyl, C_1 to C_{12} substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl;

provided that, where R^6 is methylene, at least one of R^1 to R^4 must be the formula $-C(O)NR^{11}R^{12}$; or

provided that, where R^6 is methylene, at least one of R^1 to R^4 must be the formula $-C(O)R^{11}$, wherein R^{11} is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon; or

a pharmaceutically acceptable salt of a compound thereof.

31. (Amended) A method of preparing the compound of claim
36 a benzimidazole derivative compound, comprising:

(a) coupling a first compound having a substituent of the formula $-NH-C(O)-$ variable group $-NH_2$ with a benzene compound that is substituted with a nitro group and a halo group in an ortho relationship on the benzene ring, the benzene compound optionally substituted with a variable group at one or more of the remaining 4 positions of the benzene ring, resulting in a benzene compound substituted with a nitro group and a monosubstituted amino group in an ortho relationship on the benzene ring;

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(b) reducing the nitro group of the benzene compound resulting from step (a); and

(c) coupling the compound resulting from step (b) with an aldehyde compound, resulting in a benzimidazole derivative compound.

35. (Amended) The single compound of claim 39 ~~16~~, wherein R⁴ is selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene.